Connecting via Winsock to STN

Welcome to STN International! Enter x:X

LOGINID:SSPTAMPC1626

PASSWORD:
* * * * * * RECONNECTED TO STN INTERNATIONAL * * * * * *
SESSION RESUMED IN FILE 'CAPLUS' AT 07:17:51 ON 19 JAN 2010
FILE 'CAPLUS' ENTERED AT 07:17:51 ON 19 JAN 2010
COPYRIGHT (C) 2010 AMERICAN CHEMICAL SOCIETY (ACS)

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	117.20	417.69

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-17.00	-17.00

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	117.20	417.69

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-17.00	-17.00

FILE 'REGISTRY' ENTERED AT 07:17:59 ON 19 JAN 2010
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2010 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 18 JAN 2010 HIGHEST RN 1202470-25-4
DICTIONARY FILE UPDATES: 18 JAN 2010 HIGHEST RN 1202470-25-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 26, 2009.

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

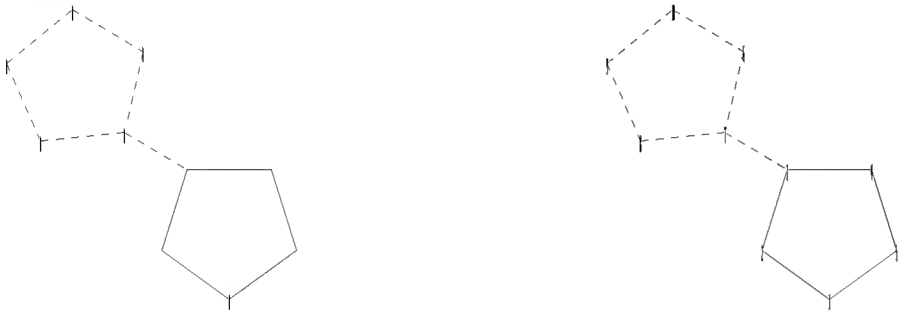
REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=> d his

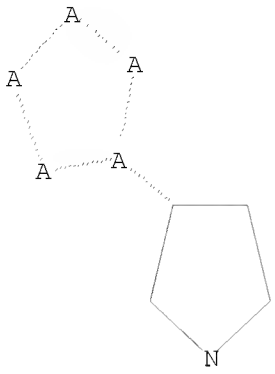
(FILE 'HOME' ENTERED AT 06:35:56 ON 19 JAN 2010)

```
     FILE 'REGISTRY' ENTERED AT 06:36:21 ON 19 JAN 2010
L1      2502089 S 16.136.1/RID
L2              STRUCTURE UPLOADED
L3            0 S L2 SSS SAM SUB=L1
L4              STRUCTURE UPLOADED
L5           50 S L4 SSS SAM SUB=L1

     FILE 'CAPLUS' ENTERED AT 06:38:41 ON 19 JAN 2010
                E US20070185100/PN
L6            1 S E3
                SEL RN

     FILE 'REGISTRY' ENTERED AT 06:39:03 ON 19 JAN 2010
L7          146 S E1-E146
L8           13 S L7 AND 16.136.1/RID
L9              STRUCTURE UPLOADED
L10          39 S L9 SSS SAM SUB=L1
L11       53735 S L9 SSS FULL SUB=L1
L12             STRUCTURE UPLOADED
L13           3 S L12 SSS SAM SUB=L11
L14         478 S L12 SSS FULL SUB=L11
L15         472 S L14 AND CAPLUS/LC
L16           6 S L14 NOT L15

     FILE 'CAPLUS' ENTERED AT 06:55:13 ON 19 JAN 2010
L17          20 S L15

     FILE 'REGISTRY' ENTERED AT 07:17:59 ON 19 JAN 2010

=>
Uploading C:\Program Files\STNEXP\Queries\10588754_01192010_7.str
```

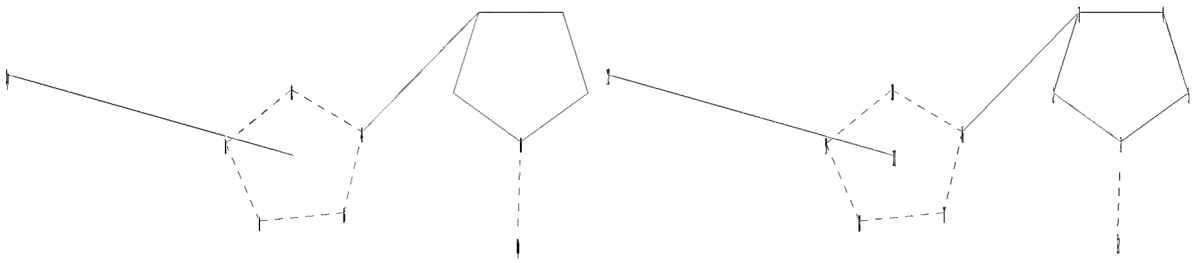


```
ring nodes :
1  2  3  4  5  6  7  8  9  10
chain bonds :
3-7
ring bonds :
1-2  1-5  2-3  3-4  4-5  6-10  6-7  7-8  8-9  9-10
exact/norm bonds :
1-2  1-5  2-3  3-4  3-7  4-5  6-10  6-7  7-8  8-9  9-10


Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom



L18     STRUCTURE UPLOADED
```

```
=> d
L18 HAS NO ANSWERS
L18             STR
```

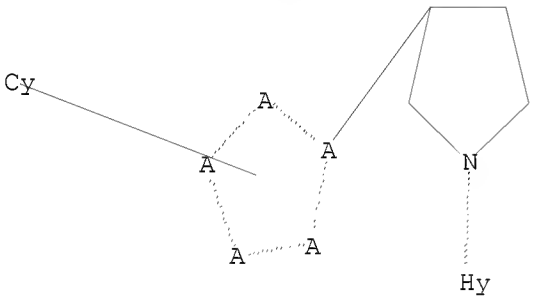



```
Structure attributes must be viewed using STN Express query preparation.


=> s l18 sss sub=l1 sam
SAMPLE SUBSET SEARCH INITIATED 07:18:22 FILE 'REGISTRY'
SAMPLE SUBSET SCREEN SEARCH COMPLETED -    58114 TO ITERATE

  3.4% PROCESSED     2000 ITERATIONS                              39 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

PROJECTIONS (WITHIN SPECIFIED SUBSET):           ONLINE  **COMPLETE**
PROJECTED ITERATIONS (WITHIN SPECIFIED SUBSET):      1147890 TO  1176670
PROJECTED ANSWERS (WITHIN SPECIFIED SUBSET):           20645 TO    24683

L19          39 SEA SUB=L1 SSS SAM L18

=> s l18 sss sub=l1 full
FULL SUBSET SEARCH INITIATED 07:18:27 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED -  1167749 TO ITERATE

 94.4% PROCESSED  1102148 ITERATIONS                           75517 ANSWERS

100.0% PROCESSED  1167749 ITERATIONS                           75785 ANSWERS
SEARCH TIME: 00.00.23

L20       75785 SEA SUB=L1 SSS FUL L18

=>
Uploading C:\Program Files\STNEXP\Queries\10588754_01192010_8.str
```



```
chain nodes :
11  12
```

```
ring nodes :
1  2  3  4  5  6  7  8  9  10
chain bonds :
1-11  3-6
ring bonds :
1-2  1-5  2-3  3-4  4-5  6-10  6-7  7-8  8-9  9-10
exact/norm bonds :
1-2  1-5  1-11  2-3  3-4  3-6  4-5  6-10  6-7  7-8  8-9  9-10


Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:Atom  12:Atom  13:CLASS



L21     STRUCTURE UPLOADED

=> d
L21 HAS NO ANSWERS
L21             STR
```




```
Structure attributes must be viewed using STN Express query preparation.


=> s l21 sss sub=l20 sam
SAMPLE SUBSET SEARCH INITIATED 07:19:27 FILE 'REGISTRY'
SAMPLE SUBSET SCREEN SEARCH COMPLETED -     3744 TO ITERATE

 53.4% PROCESSED     2000 ITERATIONS                              19 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

PROJECTIONS (WITHIN SPECIFIED SUBSET):           ONLINE  **COMPLETE**
PROJECTED ITERATIONS (WITHIN SPECIFIED SUBSET):       71210 TO    78550
PROJECTED ANSWERS (WITHIN SPECIFIED SUBSET):            354 TO     1068

L22          19 SEA SUB=L20 SSS SAM L21

=> s l21 sss sub=l20 full
FULL SUBSET SEARCH INITIATED 07:19:32 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED -    75611 TO ITERATE

100.0% PROCESSED    75611 ITERATIONS                             318 ANSWERS
SEARCH TIME: 00.00.06

L23         318 SEA SUB=L20 SSS FUL L21

=> file caplus
```

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	238.02	655.71

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-17.00

FILE 'CAPLUS' ENTERED AT 07:19:44 ON 19 JAN 2010
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 19 Jan 2010 VOL 152 ISS 4
FILE LAST UPDATED: 18 Jan 2010 (20100118/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2009

CAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

```
=> s l23/USES
            16 L23
       7926996 USES/RL
L24          9 L23/USES
                 (L23 (L) USES/RL)
```

```
=> d l24 ibib gi abs hitstr 1-9
```

L24 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER:	2008:1533190 CAPLUS
DOCUMENT NUMBER:	150:77691
TITLE:	Preparation of triazole derivatives for treating Alzheimer's disease and related conditions
INVENTOR(S):	Fischer, Christian; Munoz, Ben; Zultanski, Susan; Methot, Joey; Zhou, Hua; Brown, W. Colby
PATENT ASSIGNEE(S):	Merck & Co., Inc., USA
SOURCE:	PCT Int. Appl., 130pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008156580	A1	20081224	WO 2008-US7205	20080609

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2007-934515P P 20070613

OTHER SOURCE(S): CASREACT 150:77691; MARPAT 150:77691

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. I [W = imidazole, triazole or pyrazole; R11, R12 = H, alkyl, CF3; Y1, Y2 = N or CR2 (provided that Y1 and Y2 do not both represent N); R2 = H, halo, CN, etc.; R3, R4 = H, alkyl, F, etc.; or CR3R4 = C(O) or carbocycle of 3-6 atoms; m = 0-6; or (CR3R4)m = II, III or IV; X = H, R5, SR5, etc.; R5 = alkyl, phenylalkyl, cycloalkyl, etc.] which selectively attenuate production of Aβ(1-42) and hence find use in treatment or prevention of diseases associated with deposition of Aβ in the brain, in particular Alzheimer's disease, were prepared Thus, reacting 1-(4-ethynyl-2-methoxyphenyl)-4-methyl-1H-imidazole with the corresponding azide afforded the triazole V which showed IC50 of 616 nM when tested for inhibition of Aβ42 production Pharmaceutical composition comprising the compound I is disclosed.

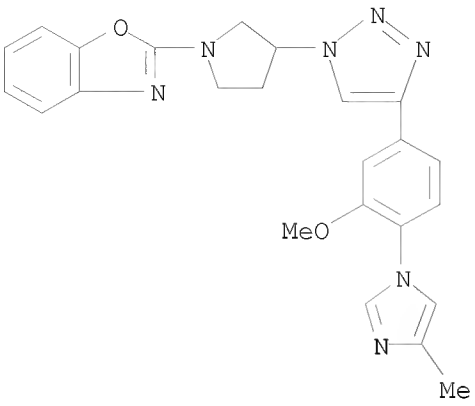
IT 1093976-66-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of triazole derivs. for treating Alzheimer's disease and related conditions)

RN 1093976-66-9 CAPLUS

CN Benzoxazole, 2-[3-[4-[3-methoxy-4-(4-methyl-1H-imidazol-1-yl)phenyl]-1H-1,2,3-triazol-1-yl]-1-pyrrolidinyl]- (CA INDEX NAME)

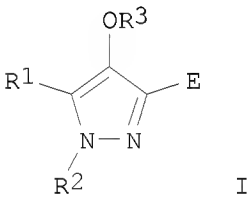


OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2008:1424812 CAPLUS
DOCUMENT NUMBER: 149:570746
TITLE: Pharmaceutical compositions containing pyrazole compounds having CB1 receptor antagonistic effects
INVENTOR(S): Moritani, Yasunori; Imashiro, Norio; Sato, Atsushi
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 133pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

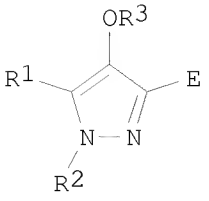
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2008285481	A	20081127	JP 2008-108646	20080418
PRIORITY APPLN. INFO.:			JP 2007-111339 A	20070420

OTHER SOURCE(S): MARPAT 149:570746
GI



I

GI



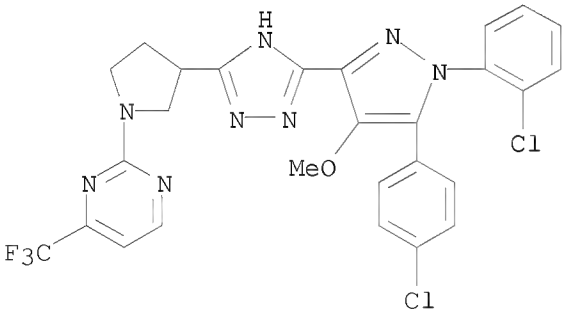
I

AB The invention provides a pharmaceutical composition containing a pyrazole compound represented by a general formula I (R1, R2 = (un)substituted aryl, heteroaryl; R3 = H, halogen, cyano, (un)substituted aminosulfonyl, (un)substituted unsatd. heteroring, etc.; R3 and R1 may join together with the adjacent O and a pyrazole ring to form a (un)substituted heterotricyclyl ring; E = substituted 5-membered heterocyclyl containing 3 heteroatoms selected from N or O atoms, etc.), or its pharmaceutically acceptable salt as an active component. The pyrazole compound shows cannabinoid receptor 1 (CB1 receptor) antagonistic effect, and the composition is suitable for use for treatment and/or prevention of mental disorder, cognitive disorder, dementia, obesity, digestive tract disorder, hypertension, hepatic cirrhosis, substance dependency, etc. For example, 1-(2-chlorophenyl)-5-(4-chlorophenyl)-4-methoxy-3-[1-(1,1-dioxothiomorpholino)acetyl]-1H-pyrazole was prepared, and examined for its antagonistic effect on human CB1 receptor in vitro (IC50 10-100 nM).

IT 935258-60-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(pharmaceutical compns. containing pyrazole compds. having CB1 receptor antagonistic effects)

RN 935258-60-9 CAPLUS

CN Pyrimidine, 2-[3-[5-[1-(2-chlorophenyl)-5-(4-chlorophenyl)-4-methoxy-1H-pyrazol-3-yl]-1H-1,2,4-triazol-3-yl]-1-pyrrolidinyl]-4-(trifluoromethyl)- (CA INDEX NAME)



L24 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:463875 CAPLUS

DOCUMENT NUMBER: 146:462252

TITLE: Preparation of pyrazole compounds having CB1 receptor antagonizing activity

INVENTOR(S): Moritani, Yasunori; Imashiro, Ritsuo; Sato, Atsushi

PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan

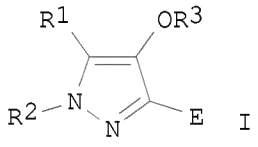
SOURCE: PCT Int. Appl., 151pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

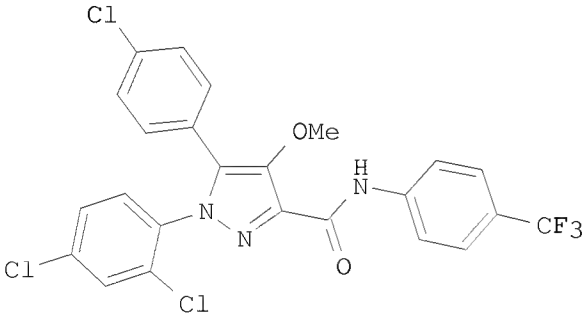
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007046550	A1	20070426	WO 2006-JP321446	20061020
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
JP 2008024693	A	20080207	JP 2006-285608	20061020
EP 1951678	A1	20080806	EP 2006-822415	20061020
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
US 20090048256	A1	20090219	US 2008-83610	20080415

PRIORITY APPLN. INFO.:	JP 2005-306817	A	20051021
	US 2005-729205P	P	20051024
	JP 2006-169479	A	20060620
	US 2006-806075P	P	20060628
	WO 2006-JP321446	W	20061020

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 146:462252; MARPAT 146:462252
GI

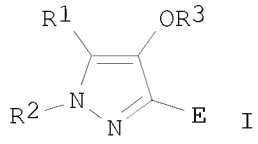


I

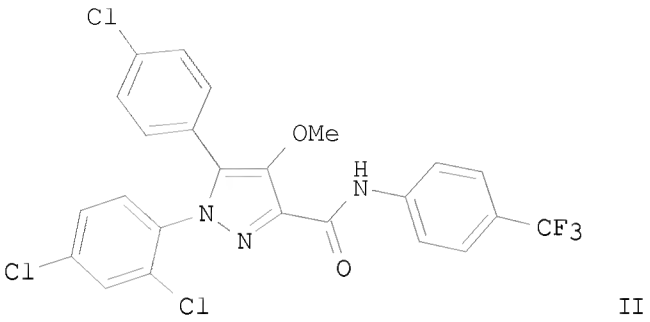


II

GI



I



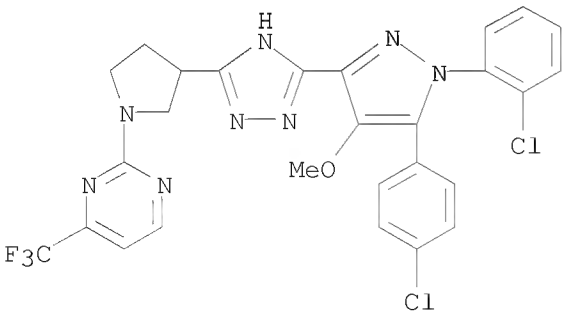
II

AB Title compds. I [R1 and R2 independently = (un)substituted aryl or heteroaryl; R3 = H, (un)substituted alkyl, aminosulfonyl, etc.; R3 and R1 may join together with the adjacent O and a pyrazole ring to form a (un)substituted heterotricyclyl ring; E = substituted 5-membered heterocyclyl containing 3 heteroatoms selected from N or O atoms, or -A-C(O)-Z-R4, wherein A = single bond, alkylene, NH, etc.; Z = single bond, O or alkylene; R4 = cycloalkyl, (un)substituted aryl, (un)saturated heterocyclyl, etc.], and their pharmaceutically acceptable salts having CB1 receptor antagonizing activity, are prepared and disclosed. Thus, e.g., II was prepared via amidation of 3-carboxy-1-(2,4-dichlorophenyl)-5-(4-chlorophenyl)-4-methoxy-1H-pyrazole (preparation given) with 4-(trifluoromethyl)benzenamine. Select compds. were tested in CB1 receptor binding assay, e.g., II exhibited IC50 value ranging from 10 to 100 nM.

IT 935258-60-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazole compds. having CB1 receptor antagonizing activity)

RN 935258-60-9 CAPLUS

CN Pyrimidine, 2-[3-[5-[1-(2-chlorophenyl)-5-(4-chlorophenyl)-4-methoxy-1H-pyrazol-3-yl]-1H-1,2,4-triazol-3-yl]-1-pyrrolidinyl]-4-(trifluoromethyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

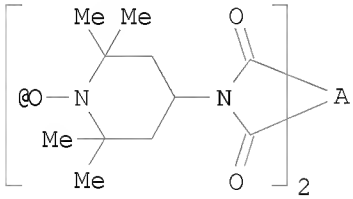
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

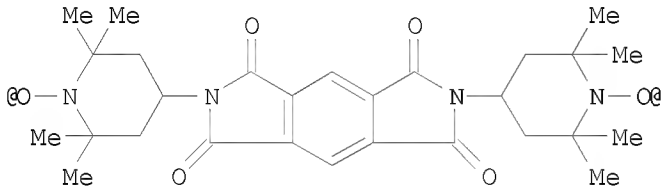
L24 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:900970 CAPLUS
DOCUMENT NUMBER: 141:366621
TITLE: Bis(N-oxyltetramethylpiperidylimide)polymerization inhibitors, polymerization inhibition of (meth)acrylic acid esters, and (meth)acrylic acid ester compositions
INVENTOR(S): Ishii, Yasutaka; Tamura, Kimio
PATENT ASSIGNEE(S): Mitsubishi Rayon Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004300031	A	20041028	JP 2003-91622	20030328
PRIORITY APPLN. INFO.:			JP 2003-91622	20030328
OTHER SOURCE(S):	MARPAT 141:366621			

GI

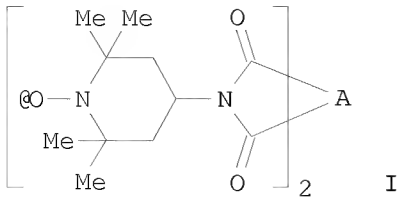


I

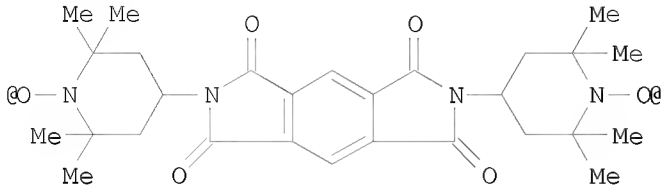


II

GI



I



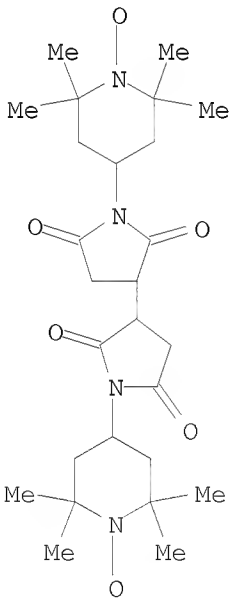
II

AB The inhibitors are I (A = aliphatic, aromatic, or alicyclic tetravalent carboxylic acid residue). The compns. contain 100 parts (meth)acrylic acid esters and 0.0001-5 parts I. Thus, pyromellitic dianhydride was amidated with 2,2,6,6,-tetramethyl-4-aminopiperidine, cyclized, and oxidized with m-chloroperbenzoic acid to give II. 2-Ethylhexyl methacrylate was polymerized in the presence of 300 ppm II by heating at 120° for 524 h, vs. 50 h in the presence of p-methoxyphenol.

IT 780774-14-3
RL: CAT (Catalyst use); USES (Uses)
(bis(N-oxyltetramethylpiperidylimide)polymerization inhibitors for (meth)acrylic acid esters)

RN 780774-14-3 CAPLUS

CN 1-Piperidinyloxy, 4,4'-(2,2',5,5'-tetraoxo[3,3'-bipyrrolidine]-1,1'-diyl)bis[2,2,6,6-tetramethyl- (9CI) (CA INDEX NAME)



L24 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN

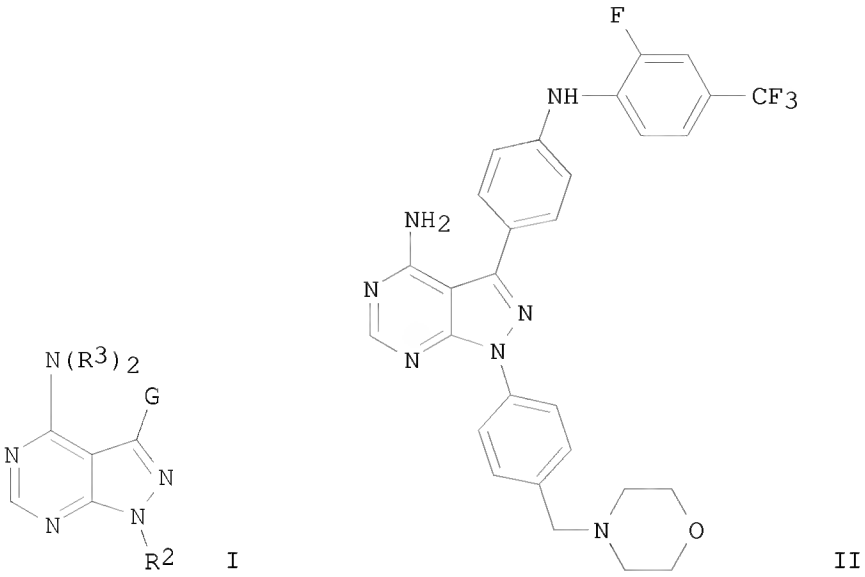
ACCESSION NUMBER: 2002:814851 CAPLUS

DOCUMENT NUMBER: 137:310930
TITLE: Preparation of 3-(azahetero)aryl-1H-pyrazolo[3,4-d]pyrimidin-3-amines as protein kinase inhibitors with antiangiogenic properties
INVENTOR(S): Hirst, Gavin C.; Rafferty, Paul; Ritter, Kurt; Calderwood, David; Wishart, Neil; Arnold, Lee D.; Friedman, Michael M.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: U.S. Pat. Appl. Publ., 426 pp., Cont.-in-part of U.S. Ser. No. 663,780.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

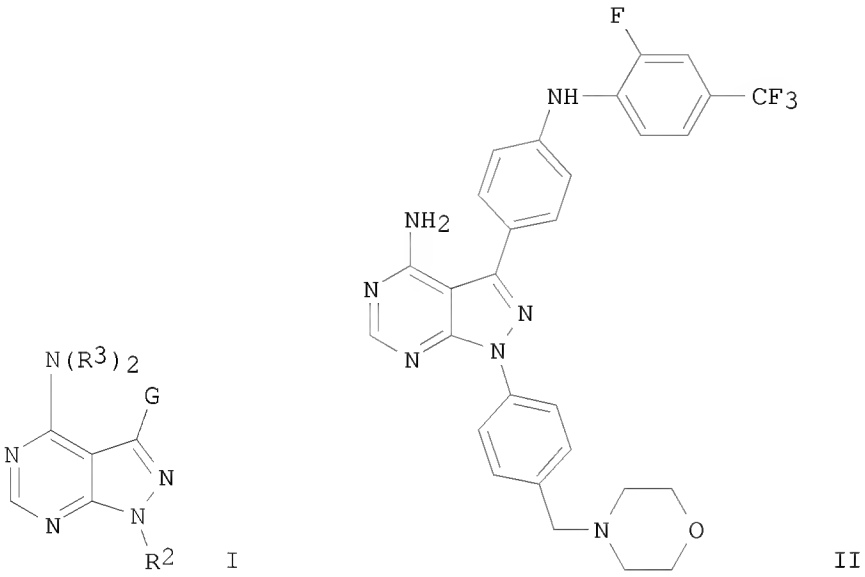
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20020156081	A1	20021024	US 2001-815310	20010322
US 6921763	B2	20050726		
US 6660744	B1	20031209	US 2000-663780	20000915
CA 2440724	A1	20021017	CA 2002-2440724	20020322
WO 2002080926	A1	20021017	WO 2002-US9104	20020322
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002316030	A1	20021021	AU 2002-316030	20020322
EP 1385524	A1	20040204	EP 2002-746301	20020322
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CN 1520298	A	20040811	CN 2002-810250	20020322
JP 2004531513	T	20041014	JP 2002-578965	20020322
BR 2002005889	A	20041109	BR 2002-5889	20020322
ZA 2003006886	A	20040716	ZA 2003-6886	20030903
NO 2003004176	A	20031121	NO 2003-4176	20030919
MX 2003008561	A	20040630	MX 2003-8561	20030922
IN 2003MN00935	A	20050429	IN 2003-MN935	20031003
BG 108269	A	20041230	BG 2003-108269	20031014

PRIORITY APPLN. INFO.:	US 1999-154620P	P	19990917
	US 2000-663780	A2	20000915
	US 2001-815310	A	20010322
	WO 2002-US9104	W	20020322

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 137:310930
GI



GI



AB Title compds. I [wherein G = (un)substituted 5-6 membered (azahetero)aryl; R2 = H or (un)substituted trityl, cycloalkenyl, azaheteroaryl, or C6H4-4-CH2E; E = (un)substituted alkyl-OR, alkyl-CO2R, alkylheteroaryl, alkylheterocycloalkyl, or alkyl-NR2; R = independently H or (un)substituted (cyclo)alkyl, or aryl(alkyl); R3 = independently H, OH, or (un)substituted alkyl, alkyl-CO, (hetero)aryl-CO, or alkoxy; or racemic diastereomeric mixts., optical isomers, pharmaceutically acceptable salts, prodrugs, and/or biol. active metabolites thereof] were prepared For example, 3-iodo-1H-pyrazolo[3,4-d]pyrimidin-4-amine was coupled with 4-fluorobenzaldehyde in the presence of NaH in DMF to give

4-(4-amino-3-iodo-1H-pyrazolo[3,4-d]pyrimidin-1-yl)benzaldehyde. Treatment of the 3-iodopyrazolopyrimidine with N-[2-methoxy-4-(4,4,5,5,-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-2-fluoro-4-(trifluoromethyl)benzamide, Pd(PPh3)4, and Na2CO3 in H2O afforded the N-[4-(pyrazolopyrimidin-3-yl)phenyl]benzamide. Addition of morpholine to the benzaldehyde in the presence of Na(AcO)3BH in dichloroethane produced II. All exemplified compds. significantly inhibited either FGFR, PDGFR, KDR, Tie-2, Lck, Fyn, Blk, Lyn, or Src at concentration of ≤ 50 μM. Certain compds. of the invention also significantly inhibited cdc2 or cellular VEGF-induced KDR tyrosine kinase phosphorylation at concns. of ≤ 50 μM. Thus, I are useful for the treatment of a wide variety of disease states ameliorated by the inhibition of protein tyrosine kinase activity essential for angiogenic processes (no data). [This abstract record is one of 2 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

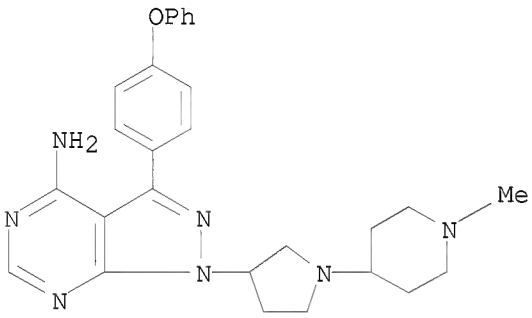
IT 330789-15-6P, 1-[1-(1-Methyl-4-piperidyl)tetrahydro-1H-pyrrol-3-yl]-3-(4-phenoxyphenyl)-1H-pyrazolo[3,4-d]pyrimidin-4-amine trimaleate
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protein kinase inhibitor; preparation of [(hetero)aryl]pyrazolo[3,4-d]pyrimidinamines as protein kinase inhibitors with antiangiogenic properties)

RN 330789-15-6 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, 1-[1-(1-methyl-4-piperidinyl)-3-pyrrolidinyl]-3-(4-phenoxyphenyl)-, (2Z)-2-butenedioate (1:3) (CA INDEX NAME)

CM 1

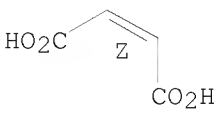
CRN 330789-14-5
CMF C27 H31 N7 O



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.

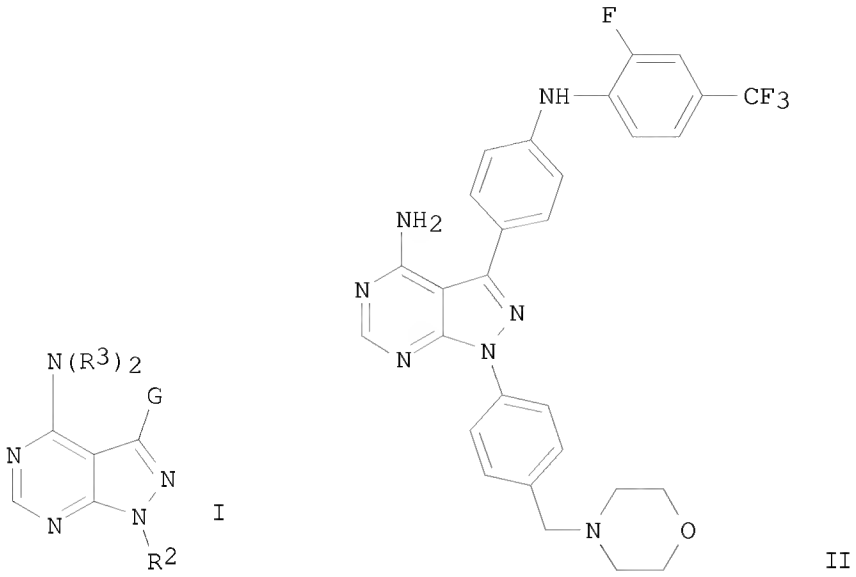


OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)
REFERENCE COUNT: 115 THERE ARE 115 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

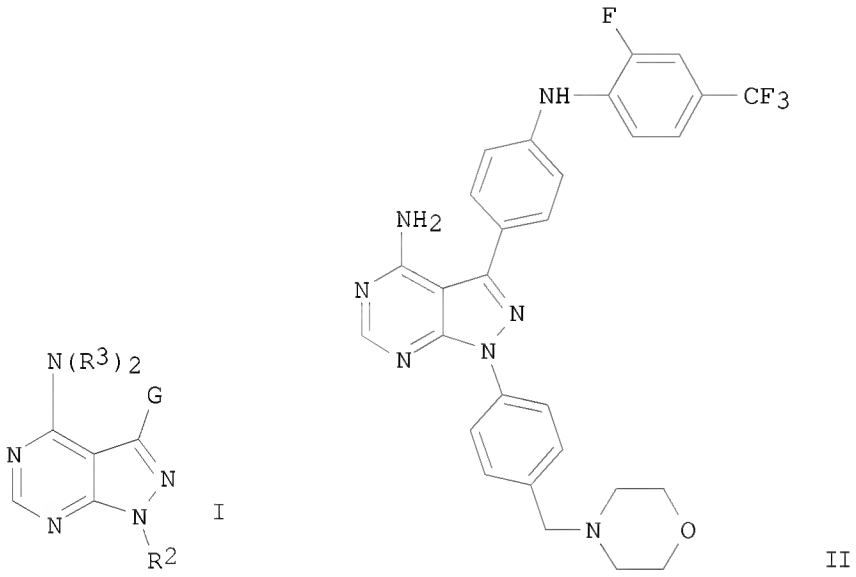
L24 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2002:793426 CAPLUS
DOCUMENT NUMBER: 137:310925
TITLE: Preparation of 3-(azahetero)aryl-1H-pyrazolo[3,4-d]pyrimidin-3-amines as protein kinase inhibitors with antiangiogenic properties
INVENTOR(S): Hirst, Gavin C.; Rafferty, Paul; Ritter, Kurt; Calderwood, David; Wishart, Neil; Arnold, Lee D.; Friedman, Michael M.
PATENT ASSIGNEE(S): Abbott G.m.b.H. & Co. K.-G., Germany
SOURCE: PCT Int. Appl., 867 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002080926	A1	20021017	WO 2002-US9104	20020322
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20020156081	A1	20021024	US 2001-815310	20010322
US 6921763	B2	20050726		
CA 2440724	A1	20021017	CA 2002-2440724	20020322
AU 2002316030	A1	20021021	AU 2002-316030	20020322
EP 1385524	A1	20040204	EP 2002-746301	20020322
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004531513	T	20041014	JP 2002-578965	20020322
BR 2002005889	A	20041109	BR 2002-5889	20020322
NO 2003004176	A	20031121	NO 2003-4176	20030919
MX 2003008561	A	20040630	MX 2003-8561	20030922
IN 2003MN00935	A	20050429	IN 2003-MN935	20031003
PRIORITY APPLN. INFO.:			US 2001-815310 A	20010322
			US 1999-154620P P	19990917
			US 2000-663780 A2	20000915
			WO 2002-US9104 W	20020322

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 137:310925
GI



GI



AB Title compds. I [wherein G = (un)substituted 5-6 membered (azahetero)aryl; R2 = H or (un)substituted trityl, cycloalkenyl, azaheteroaryl, or C6H4-4-CH2E; E = (un)substituted alkyl-OR, alkyl-CO2R, alkylheteroaryl, alkylheterocycloalkyl, or alkyl-NR2; R = independently H or (un)substituted (cyclo)alkyl, or aryl(alkyl); R3 = independently H, OH, or (un)substituted alkyl, alkyl-CO, (hetero)aryl-CO, or alkoxy; or racemic diastereomeric mixts., optical isomers, pharmaceutically acceptable salts, prodrugs, and/or biol. active metabolites thereof] were prepared For example, 3-iodo-1H-pyrazolo[3,4-d]pyrimidin-4-amine was coupled with 4-fluorobenzaldehyde in the presence of NaH in DMF to give 4-(4-amino-3-iodo-1H-pyrazolo[3,4-d]pyrimidin-1-yl)benzaldehyde. Treatment of the 3-iodopyrazolopyrimidine with

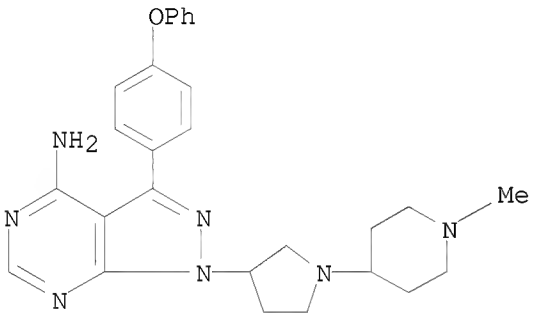
N-[2-methoxy-4-(4,4,5,5,-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-2-fluoro-4-(trifluoromethyl)benzamide, Pd(PPh3)4, and Na2CO3 in H2O afforded the N-[4-(pyrazolopyrimidin-3-yl)phenyl]benzamide. Addition of morpholine to the benzaldehyde in the presence of Na(AcO)3BH in dichloroethane produced II. All exemplified compds. significantly inhibited either FGFR, PDGFR, KDR, Tie-2, Lck, Fyn, Blk, Lyn, or Src at concentration of ≤ 50 μM. Certain compds. of the invention also significantly inhibited cdc2 or cellular VEGF-induced KDR tyrosine kinase phosphorylation at concns. of ≤ 50 μM. Thus, I are useful for the treatment of a wide variety of disease states ameliorated by the inhibition of protein tyrosine kinase activity essential for angiogenic processes (no data).

IT 330789-15-6P, 1-[1-(1-Methyl-4-piperidyl)tetrahydro-1H-pyrrol-3-yl]-3-(4-phenoxyphenyl)-1H-pyrazolo[3,4-d]pyrimidin-4-amine trimaleate
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protein kinase inhibitor; preparation of [(hetero)aryl]pyrazolo[3,4-d]pyrimidinamines as protein kinase inhibitors with antiangiogenic properties)

RN 330789-15-6 CAPLUS
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, 1-[1-(1-methyl-4-piperidinyl)-3-pyrrolidinyl]-3-(4-phenoxyphenyl)-, (2Z)-2-butenedioate (1:3) (CA INDEX NAME)

CM 1

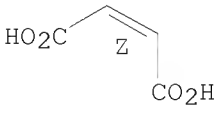
CRN 330789-14-5
CMF C27 H31 N7 O



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.

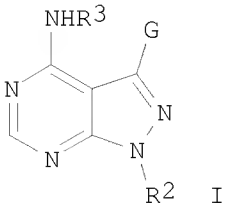


OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2001:208278 CAPLUS
DOCUMENT NUMBER: 134:252353
TITLE: Preparation of pyrazolopyrimidines as protein kinase inhibitors
INVENTOR(S): Hirst, Gavin C.; Calderwood, David; Wishart, Neil; Rafferty, Paul; Ritter, Kurt; Arnold, Lee D.; Friedman, Michael M.
PATENT ASSIGNEE(S): BASF Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 527 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

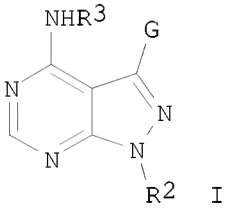
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001019829	A2	20010322	WO 2000-US25468	20000915
WO 2001019829	A3	20010927		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2385747	A1	20010322	CA 2000-2385747	20000915
AU 2000074950	A	20010417	AU 2000-74950	20000915
AU 780052	B2	20050224		
EP 1212327	A2	20020612	EP 2000-963554	20000915
EP 1212327	B1	20030820		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
BR 2000014073	A	20020716	BR 2000-14073	20000915
JP 2003509428	T	20030311	JP 2001-523406	20000915
AT 247657	T	20030915	AT 2000-963554	20000915
PT 1212327	E	20040130	PT 2000-963554	20000915
ES 2207552	T3	20040601	ES 2000-963554	20000915
NZ 517758	A	20040625	NZ 2000-517758	20000915
TW 230709	B	20050411	TW 2000-89119064	20000916
IN 2002MN00310	A	20080815	IN 2002-MN310	20020313
ZA 2002002123	A	20030617	ZA 2002-2123	20020314
MX 2002002898	A	20031014	MX 2002-2898	20020314
NO 2002001328	A	20020521	NO 2002-1328	20020318
BG 106586	A	20030131	BG 2002-106586	20020405
HK 1050355	A1	20041015	HK 2002-108955	20021210
PRIORITY APPLN. INFO.:			US 1999-154620P P	19990917
			WO 2000-US25468 W	20000915

OTHER SOURCE(S): MARPAT 134:252353
GI



I

GI



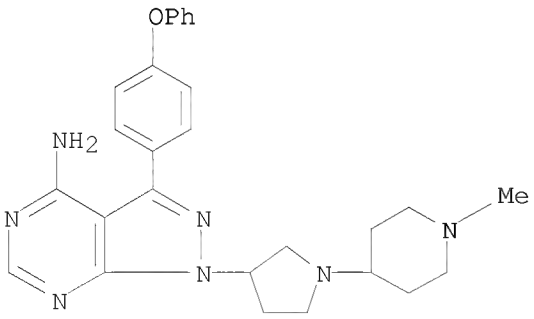
I

AB The title compds. [I; G = substituted Ph; R2 = BE; B = (un)substituted cycloalkyl, azacycloalkyl, etc.; E = (un)substituted azacycloalkyl, azacycloalkylcarbonyl, etc.; R3 = H, OH, alkyl, alkoxy] which inhibit one or more protein kinase (such as FGFR, PDGFR, KDR, Tie-2, Lck, Fyn, Blk, Lyn, Src, and cdc2) activity, were prepared and formulated. E.g., a multi-step synthesis of I [G = 4-phenoxyphenyl; R2 = 1-benzyl-4-piperidinyl; R3 = H] was described. Biol. data for compds. I were given.

IT 330789-14-5P 330789-15-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolopyrimidines as protein kinase inhibitors)

RN 330789-14-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, 1-[1-(1-methyl-4-piperidinyl)-3-pyrrolidinyl]-3-(4-phenoxyphenyl)- (CA INDEX NAME)

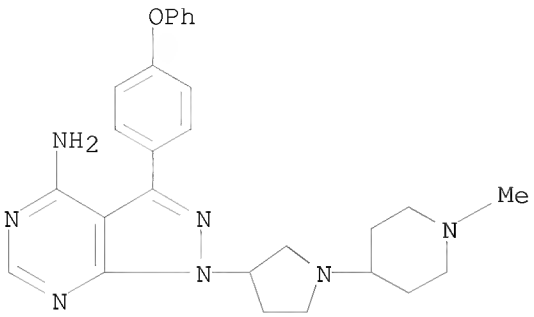


RN 330789-15-6 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, 1-[1-(1-methyl-4-piperidinyl)-3-pyrrolidinyl]-3-(4-phenoxyphenyl)-, (2Z)-2-butenedioate (1:3) (CA INDEX NAME)

CM 1

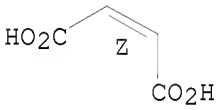
CRN 330789-14-5
CMF C27 H31 N7 O



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



OS.CITING REF COUNT: 19 THERE ARE 19 CAPLUS RECORDS THAT CITE THIS RECORD (38 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

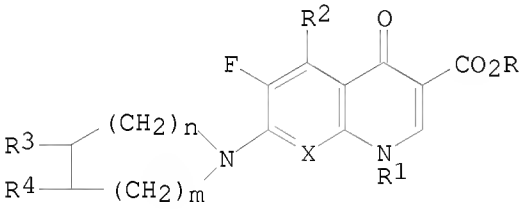
L24 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1994:457512 CAPLUS
DOCUMENT NUMBER: 121:57512
ORIGINAL REFERENCE NO.: 121:10376h,10377a
TITLE: Preparation of 7-substituted-6-fluoro-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid compounds and related compounds as antibacterial agents
INVENTOR(S): Singh, Rajeshwar; Fathi-Afshar, Rakhshandeh; Singh, Inder Pal; Thomas, George; Doerksen, Thomas Roger; Singh, Maya Prakash; Micetich, Ronald George
PATENT ASSIGNEE(S): Synphar Laboratories, Inc., Can.
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9324481	A1	19931209	WO 1993-CA231	19930531
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP,				

KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD,
SE, SK, UA, US, VN
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,
BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

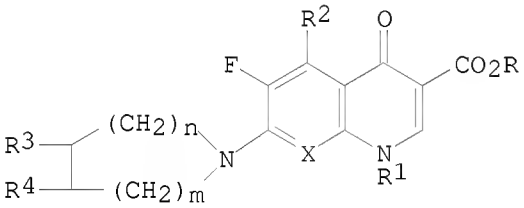
US 5342846	A	19940830	US 1992-913505		19920714
AU 9343029	A	19931230	AU 1993-43029		19930531
JP 08501063	T	19960206	JP 1994-500050		19930531
JP 3396781	B2	20030414			
PRIORITY APPLN. INFO.:			US 1992-891262	A	19920601
			US 1992-913505	A	19920714
			US 1990-621716	B2	19901205
			WO 1993-CA231	A	19930531

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 121:57512
GI



I

GI



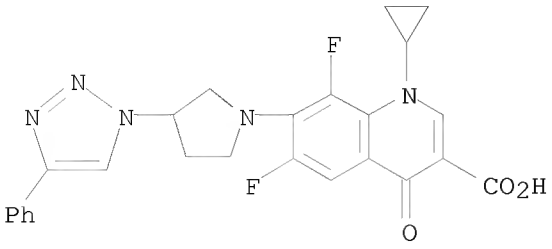
I

AB Title compds. I (R = H, C1-4 alkyl group; R1 (substituted) C3-C6 cycloalkyl, (substituted) Ph (substituted) C1-C4 alkyl; R2 = H, halo, C1-C4 alkyl, HO, H2N; R3 = H, HO, H2N; R4 = 1,2,3-, 1,2,4-triazol-1-yl, 1,2,3,4-tetrazol-1-yl, 1,2,3,4-tetrazol-2-yl , each of which may have 1 to 2 substituents; X = N, HC, FC, MeOC; m = 1,2; n = 0-2; etc.) or a pharmaceutical salt, are prepared Et 7-chloro-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-1,8-naphthyrdine-3-carboxylate (preparation given) and cis-3-amino-4-(1,2,3-triazol-1-yl)pyrrolidine (preparation given) were reacted in pyridine to give I (R = Et, R1 = cyclopropyl, R2 = H, R3 = H2N, R4 = 1,2,3-triazol-1-yl, X = N, m = n = 1) which in test for antibacterial activity showed a min. inhibitory concentration of 0.008, 0.03, 0.25, 0.25, 2 μg/mL against Staphylococcus aureus, Escherichia coli, Enterobacter cloacae, Klebsiella pneumoniae and Pseudomonas aeruginosa, resp.

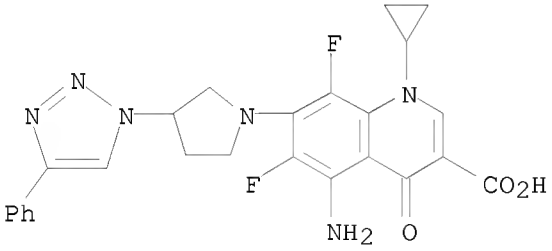
IT 143699-73-4P 143699-74-5P 143699-75-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antibacterial)

RN 143699-73-4 CAPLUS

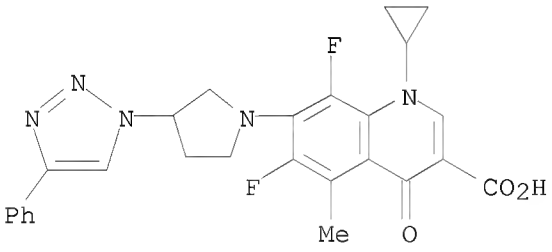
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6,8-difluoro-1,4-dihydro-4-oxo-7-[3-(4-phenyl-1H-1,2,3-triazol-1-yl)-1-pyrrolidinyl]- (CA INDEX NAME)



RN 143699-74-5 CAPLUS
CN 3-Quinolinecarboxylic acid, 5-amino-1-cyclopropyl-6,8-difluoro-1,4-dihydro-4-oxo-7-[3-(4-phenyl-1H-1,2,3-triazol-1-yl)-1-pyrrolidinyl]- (CA INDEX NAME)



RN 143699-75-6 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6,8-difluoro-1,4-dihydro-5-methyl-4-oxo-7-[3-(4-phenyl-1H-1,2,3-triazol-1-yl)-1-pyrrolidinyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1993:212902 CAPLUS
DOCUMENT NUMBER: 118:212902
ORIGINAL REFERENCE NO.: 118:36695a,36698a
TITLE: Preparation of 7-heterocyclyl-6-fluoro-1,4-dihydro-4-oxo-quinoline-3-carboxylates and analogs as antibacterials
INVENTOR(S): Singh, Rajeshwar; Singh, Inder Pal; Thomas, George;

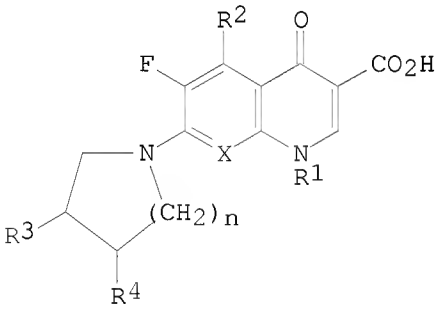
Singh, Maya Prakash; Micetich, Ronald George;
Fahti-Afshar, Rakhshandeh; Doerksen, Thomas Roger
PATENT ASSIGNEE(S): Synphar Laboratories, Inc., Can.
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9210492	A1	19920625	WO 1991-CA435	19911205
W: AT, AU, BB, BG, BR, CA, CH, CS, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, PL, RO, SD, SE, SU				
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, MC, ML, MR, NL, SE, SN, TD, TG				
CA 2099591	A1	19920606	CA 1991-2099591	19911205
CA 2099591	C	20021112		
AU 9190210	A	19920708	AU 1991-90210	19911205
AU 666296	B2	19960208		
ZA 9109601	A	19921028	ZA 1991-9601	19911205
EP 561850	A1	19930929	EP 1991-920890	19911205
EP 561850	B1	20000712		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL				
HU 64058	A2	19931129	HU 1993-1648	19911205
JP 06507149	T	19940811	JP 1991-500232	19911205
AT 194612	T	20000715	AT 1991-920890	19911205
NO 9302033	A	19930603	NO 1993-2033	19930603
NO 305479	B1	19990607		

PRIORITY APPLN. INFO.: US 1990-621716 A 19901205
WO 1991-CA435 A 19911205

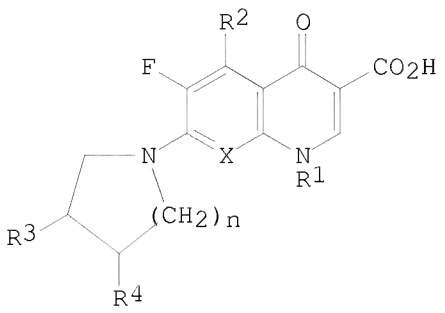
OTHER SOURCE(S): MARPAT 118:212902

GI



I

GI



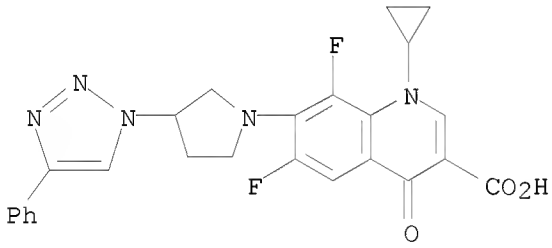
I

AB Title compds. [I; R1 = C3-6 cycloalkyl, (substituted) Ph; R2 = H, halo, C1-4 alkyl, HO, H2N; R3 = H, HO, H2N; R4 = (substituted) triazol-1-yl or tetrazol-1-yl, etc.; X = N, HC, FC, MeOC; n = 0-2], are prepared Et 1-(4-fluorophenyl)-6,7,8-trifluoro-1,4-dihydro-4-oxoquinoline-3-carboxylate, 3-(1,2,3-triazol-1-yl)pyrrolidine.HCl (preparation given) and DBU were heated at 75° for 3 h to give Et 6,8-difluoro-1-(4-fluorophenyl)-7-[3-(1,2,3-triazol-1-yl)pyrrolin-1-yl]-1,4-dihydro-4-oxoquinoline-3-carboxylate which was heated in aqueous NaOH at 90° for 3.5 h to give I (R1 = 4-FC6H4, R2 = R3 = H, R4 = 1,2,3-triazol-1-yl, X = FC, n = 1) (II). II inhibited Staphylococcus aureus with a min. inhibitory concentration of ≤0.06 μg/mL.

IT 143699-73-4P 143699-74-5P 143699-75-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antibacterial)

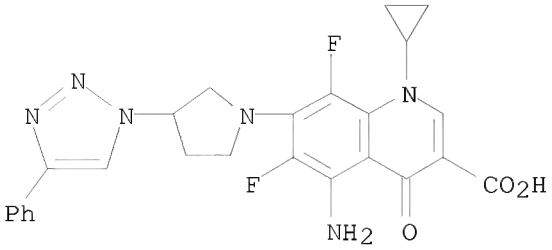
RN 143699-73-4 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6,8-difluoro-1,4-dihydro-4-oxo-7-[3-(4-phenyl-1H-1,2,3-triazol-1-yl)-1-pyrrolidinyl]- (CA INDEX NAME)

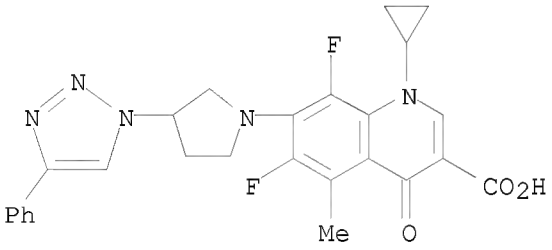


RN 143699-74-5 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-1-cyclopropyl-6,8-difluoro-1,4-dihydro-4-oxo-7-[3-(4-phenyl-1H-1,2,3-triazol-1-yl)-1-pyrrolidinyl]- (CA INDEX NAME)



RN 143699-75-6 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6,8-difluoro-1,4-dihydro-5-methyl-4-oxo-7-[3-(4-phenyl-1H-1,2,3-triazol-1-yl)-1-pyrrolidinyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> logoff hold

(FILE 'HOME' ENTERED AT 06:35:56 ON 19 JAN 2010)

FILE 'REGISTRY' ENTERED AT 06:36:21 ON 19 JAN 2010
L1 2502089 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON 16.136.1/RID
L2 STRUCTURE UPLOADED
L3 0 SEA FILE=REGISTRY SUB=L1 SSS SAM L2
L4 STRUCTURE UPLOADED
D
L5 50 SEA FILE=REGISTRY SUB=L1 SSS SAM L4

FILE 'CAPLUS' ENTERED AT 06:38:41 ON 19 JAN 2010
E US20070185100/PN
L6 1 SEA FILE=CAPLUS SPE=ON ABB=ON PLU=ON US20070185100/PN
SEL RN

FILE 'REGISTRY' ENTERED AT 06:39:03 ON 19 JAN 2010
L7 146 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON (1032919-11-1/BI OR 117625-90-8/BI OR 120-47-8/BI OR 126767-63-3/BI OR 128019-59-0/BI OR 1452-63-7/BI OR 153749-89-4/BI OR 157634-00-9/BI OR 157634-02-1/BI OR 15855-06-8/BI OR 16308-17-1/BI OR 18153-53-2/BI OR 183742-23-6/BI OR 19353-92-5/BI OR 19353-97-0/BI OR 19353-99-2/BI OR 212650-43-6/BI OR 212650-45-8/BI OR 22179-77-7/BI OR 22620-29-7/BI OR 2417-72-3/BI OR 244022-63-7/BI OR 25462-85-5/BI OR 25773-00-6/BI OR 28920-43-6/BI OR 3290-99-1/BI OR 3433-37-2/BI OR 3758-59-6/BI OR 388077-74-5/BI OR 453565-59

```
                -8/BI OR 4584-46-7/BI OR 4837-20-1/BI OR 518047-39-7/BI OR
                518047-40-0/BI OR 518058-62-3/BI OR 535-80-8/BI OR 54-85-3/BI
                OR 553-53-7/BI OR 56601-42-4/BI OR 61832-07-3/BI OR 63503-60-6/
                BI OR 661459-30-9/BI OR 701-40-6/BI OR 766-83-6/BI OR 77873-76-
                8/BI OR 833474-06-9/BI OR 863646-40-6/BI OR 863646-41-7/BI OR
                863646-42-8/BI OR 863646-43-9/BI OR 863646-44-0/BI OR 863646-45
                -1/BI OR 863646-46-2/BI OR 863646-47-3/BI OR 863646-48-4/BI OR
                863646-49-5/BI OR 863646-50-8/BI OR 863646-51-9/BI OR 863646-52
                -0/BI OR 863646-53-1/BI OR 863646-54-2/BI OR 863646-55-3/BI OR
                863646-56-4/BI OR 863646-57-5/BI OR 863646-58-6/BI OR 863646-59
                -7/BI OR 863646-60-0/BI OR 863646-61-1/BI OR 863646-62-2/BI OR
                863646-63-3/BI OR 863646-64-4/BI OR 863646-65-5/BI OR 863646-66
                -6/BI OR 863646-67-7/BI OR 863646-68-8/BI OR 863646-69-9/BI OR
                863646-70-2/BI OR 863646-71-3/BI OR 863646-72-4/BI OR 863646-73
                -5/BI OR 863646-74-6/BI OR 863646-75-7/BI OR 863646-76-8/BI OR
                863646-77-9/BI OR 863646-78-0/BI OR 863646-79-1/BI OR 863646-80
                -4/BI OR 863646-81-5/BI OR 863646-82-6/BI OR 863646-83-7/BI OR
                863646-84-8/BI OR 863646-85-9/BI OR 863646-86-0/BI OR 863646-87
                -1/BI OR 863646-88-2/BI OR 863646-89-3/BI OR 863646-90-6/BI OR
                863646-91-7/BI OR 863646-92-8/BI OR 863646-93-9/BI OR 863646-94
                -0/BI OR 863646-95-1/BI
L8            13 SEA FILE=REGISTRY SPE=ON  ABB=ON  PLU=ON  L7 AND 16.136.1/RID
                D L8 1-13
L9                STRUCTURE UPLOADED
                  D
L10           39 SEA FILE=REGISTRY SUB=L1 SSS SAM L9
L11        53735 SEA FILE=REGISTRY SUB=L1 SSS FUL L9
L12               STRUCTURE UPLOADED
                  D
L13            3 SEA FILE=REGISTRY SUB=L11 SSS SAM L12
                  D SCAN
L14          478 SEA FILE=REGISTRY SUB=L11 SSS FUL L12
L15          472 SEA FILE=REGISTRY SPE=ON  ABB=ON  PLU=ON  L14 AND CAPLUS/LC
L16            6 SEA FILE=REGISTRY SPE=ON  ABB=ON  PLU=ON  L14 NOT L15
                  D L16 1-6

     FILE 'CAPLUS' ENTERED AT 06:55:13 ON 19 JAN 2010
L17           20 SEA FILE=CAPLUS SPE=ON  ABB=ON  PLU=ON  L15
                  D L17 IBIB GI ABS HITSTR 1-20

     FILE 'REGISTRY' ENTERED AT 07:17:59 ON 19 JAN 2010
L18               STRUCTURE UPLOADED
                  D
L19           39 SEA FILE=REGISTRY SUB=L1 SSS SAM L18
L20        75785 SEA FILE=REGISTRY SUB=L1 SSS FUL L18
L21               STRUCTURE UPLOADED
                  D
L22           19 SEA FILE=REGISTRY SUB=L20 SSS SAM L21
L23          318 SEA FILE=REGISTRY SUB=L20 SSS FUL L21

     FILE 'CAPLUS' ENTERED AT 07:19:44 ON 19 JAN 2010
L24            9 SEA FILE=CAPLUS SPE=ON  ABB=ON  PLU=ON  L23/USES
                  D L24 IBIB GI ABS HITSTR 1-9
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                              55.60     711.31

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)    SINCE FILE      TOTAL
                                                 ENTRY    SESSION
CA SUBSCRIBER PRICE                              -7.65     -24.65

 SESSION WILL BE HELD FOR 120 MINUTES
```

STN INTERNATIONAL SESSION SUSPENDED AT 07:21:06 ON 19 JAN 2010